

10/524028

FILE 'REGISTRY' ENTERED AT 12:07:25 ON 24 JUL 2007  
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STRUCTURE FILE UPDATES: 23 JUL 2007 HIGHEST RN 943188-87-2  
DICTIONARY FILE UPDATES: 23 JUL 2007 HIGHEST RN 943188-87-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

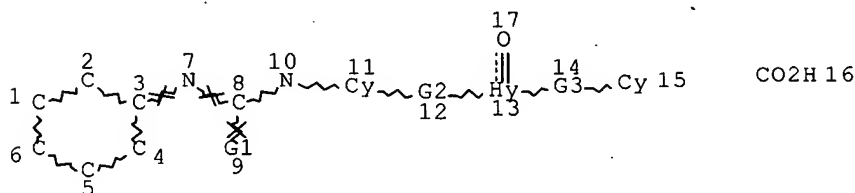
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

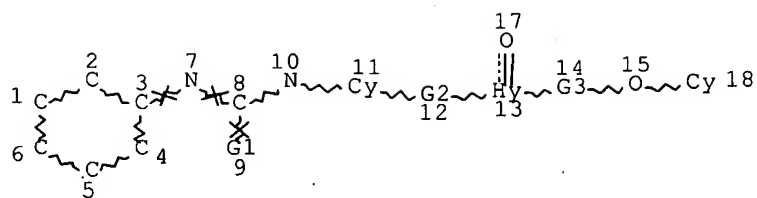
L1 STR



VAR G1=O/S/N  
REP G2=(0-3) CH2  
REP G3=(0-3) CH2  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY AT 11  
GGCAT IS MCY AT 15  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS E5 C E1 N AT 13

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
L2 STR

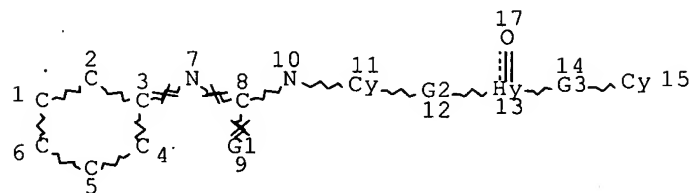


CO2H 16

VAR G1=O/S/N  
 REP G2=(0-3) CH2  
 REP G3=(2-3) CH2  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 GGCAT IS MCY AT 11  
 GGCAT IS MCY AT 18  
 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS E5 C E1 N AT 13

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE  
 L3 STR

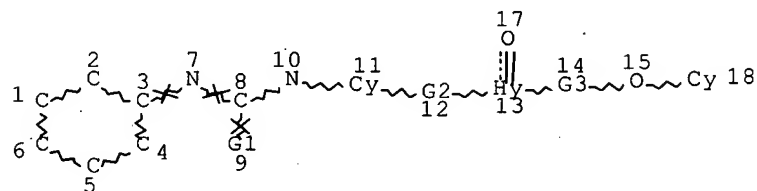


CO2H 16

VAR G1=O/S/N  
 REP G2=(0-3) CH2  
 REP G3=(0-3) CH2  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS M1 N AT 13

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
 L4 STR



CO2H 16

VAR G1=O/S/N  
REP G2=(0-3) CH2  
REP G3=(2-3) CH2  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS M1 N AT 13

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE  
L5 ( 132)SEA FILE=REGISTRY SSS FUL L3 OR L4  
L6 77 SEA FILE=REGISTRY SUB=L5 SSS FUL (L1 OR L2)

100.0% PROCESSED 132 ITERATIONS 77 ANSWERS  
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 12:07:25 ON 24 JUL 2007  
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FILE COVERS 1907 - 24 Jul 2007 VOL 147 ISS 5  
FILE LAST UPDATED: 23 Jul 2007 (20070723/ED)

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<http://www.cas.org/infopolicy.html>

L7 5 S L6

E1 THROUGH E72 ASSIGNED

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN  
ED Entered STN: 27 Sep 2006  
ACCESSION NUMBER: 2006:1001119 CAPLUS Full-text  
DOCUMENT NUMBER: 146:213  
TITLE: Pyridone derivatives as potent, orally  
bioavailable VLA-4 integrin antagonists  
AUTHOR(S): Witherington, Jason; Blaney, Emma L.; Bordas,  
Vincent; Elliott, Richard L.; Gaiba, Alessandra;  
Garton, Neil; Green, Philip M.; Naylor,  
Antoinette; Smith, David G.; Spalding, David J.;  
Takle, Andrew K.; Ward, Robert W.

CORPORATE SOURCE: Department of DMPK and Medicinal Chemistry,  
Neurology and GI Centre of Excellence for Drug  
Discovery, GlaxoSmithKline Research Limited,  
Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),  
16(21), 5538-5541  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:213

AB A series of pyridone-N-benzylpropanoic acids have been optimized to afford  
potent orally bioavailable VLA-4 antagonists.

IT 915157-68-5 915157-69-6 915157-70-9  
915157-71-0 915157-72-1 915157-73-2  
915157-74-3 915157-75-4 915157-76-5  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(optimization of pyridone-N-benzylpropanoic acids as orally  
bioavailable VLA-4 integrin antagonists)

IT 660439-96-3  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL  
(Biological study)  
(optimization of pyridone-N-benzylpropanoic acids as orally  
bioavailable VLA-4 integrin antagonists)

IT 660439-93-0P 660440-22-2P 660440-23-3P  
915157-77-6P 915157-78-7P 915157-79-8P  
915157-80-1P 915157-81-2P 915157-82-3P  
915157-83-4P 915157-84-5P 915157-85-6P  
915157-86-7P 915157-87-8P 915157-88-9P  
915157-89-0P 915157-90-3P 915157-91-4P  
915157-93-6P  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN  
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(optimization of pyridone-N-benzylpropanoic acids as orally  
bioavailable VLA-4 integrin antagonists)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 16 May 2006

ACCESSION NUMBER: 2006:453930 CAPLUS Full-text

DOCUMENT NUMBER: 144:480470

TITLE: Pyridone derivatives as potent and selective VLA-4  
integrin antagonists. [Erratum to document cited  
in CA144:403837]

AUTHOR(S): Witherington, Jason; Bordas, Vincent; Gaiba,  
Alessandra; Green, Phil M.; Naylor, Antoinette;  
Parr, Nigel; Smith, David G.; Takle, Andrew K.;  
Ward, Robert W.

CORPORATE SOURCE: Department of Medicinal Chemistry, Neurology & GI  
Centre of Excellence for Drug Discovery,  
GlaxoSmithKline Research Limited Harlow, Essex,  
CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),  
16(12), 3341  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The legends to Figures 1b and 3b are incorrect. In Figure 1b, the legend should read: "GASP molecular overlay of 8 (green) and 5 (yellow)". In Figure 3b, the legend should read: "Molecular overlay of 8 (green) and 10 (yellow)".

IT 660439-93-0P 660439-96-3P 660439-99-6P

660440-62-0P 884347-98-2P 884347-99-3P

884348-00-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyridone derivs. as potent and selective VLA-4 integrin antagonists (Erratum))

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 16 Mar 2006

ACCESSION NUMBER: 2006:232883 CAPLUS Full-text

DOCUMENT NUMBER: 144:403837

TITLE: Pyridone derivatives as potent and selective VLA-4 integrin antagonists

AUTHOR(S): Witherington, Jason; Bordas, Vincent; Gaiba, Alessandra; Green, Phil M.; Naylor, Antoinette; Parr, Nigel; Smith, David G.; Takle, Andrew K.; Ward, Robert W.

CORPORATE SOURCE: Department of Medicinal Chemistry, Neurology & GI Centre of Excellence for Drug Discovery, GlaxoSmithKline Research Limited, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(8), 2256-2259

CODEN: BMCLE8; ISSN: 0960-894X

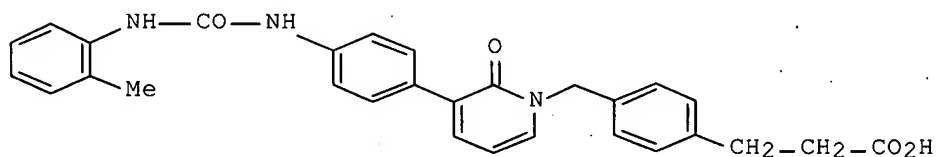
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:403837

GI



I

AB A novel series of pyridone inhibitors has been identified through pharmacophore anal., as potent antagonists of VLA-4. Analog I exhibited excellent inhibitory potency.

IT 660439-93-0P 660439-96-3P 660439-99-6P

660440-62-0P 884347-98-2P 884347-99-3P

884348-00-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(pyridone derivs. as potent and selective VLA-4 integrin antagonists)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 23 Sep 2005

ACCESSION NUMBER: 2005:1025806 CAPLUS Full-text

DOCUMENT NUMBER: 143:299147

TITLE: Medicine compositions containing pyridone analogs  
for inhibiting  $\alpha$ 4-integrin-mediated cell  
adhesion

INVENTOR(S): Witherington, Jason; Elliott, Richard Leonard

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005255675	A	20050922	JP 2005-33237	20050209
PRIORITY APPLN. INFO.:			JP 2004-31901	A 20040209

OTHER SOURCE(S): MARPAT 143:299147

AB Medicine compns. containing pyridone analogs and their pharmacol. acceptable  
salts are claimed for inhibiting  $\alpha$ 4-integrin-mediated cell adhesion for  
treatment of related diseases, including chronic inflammatory diseases,  
asthma, allergy, inflammatory bowel disease, rheumatoid arthritis, atopic  
dermatitis, multiple sclerosis, organ transplant rejection, cardiovascular  
disease, diabetes, tumor, central nervous system diseases, etc.

IT 660439-93-0P 660439-94-1P 660439-95-2P  
660439-96-3P 660439-97-4P 660439-98-5P  
660439-99-6P 660440-00-6P 660440-01-7P  
660440-02-8P 660440-03-9P 660440-05-1P  
660440-07-3P 660440-12-0P 660440-13-1P  
660440-14-2P 660440-15-3P 660440-16-4P  
660440-17-5P 660440-18-6P 660440-19-7P  
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660440-35-7P 660440-36-8P 660440-37-9P  
660440-38-0P 660440-39-1P 660440-40-4P  
660440-41-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(medicine compns. containing pyridone analogs for inhibiting  
 $\alpha$ 4-integrin-mediated cell adhesion and treating related  
diseases)

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

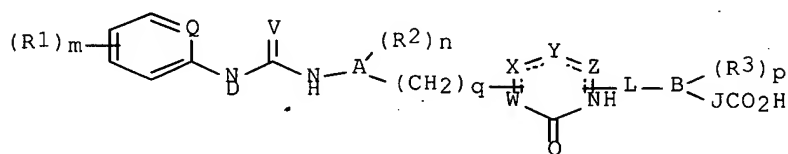
ED Entered STN: 22 Feb 2004

10/524028

ACCESSION NUMBER: 2004:143107 CAPLUS Full-text  
DOCUMENT NUMBER: 140:199207  
TITLE: Preparation of pyridones as inhibitors of  $\alpha 4$   
integrin-mediated cell adhesion.  
INVENTOR(S): Witherington, Jason; Elliott, Richard Leonard  
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 47 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
WO 2004014859		A2	20040219	WO 2003-JP10119		20030808
WO 2004014859		A3	20040415			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2493660		A1	20040219	CA 2003-2493660		20030808
AU 2003256069		A1	20040225	AU 2003-256069		20030808
EP 1539696		A2	20050615	EP 2003-784602		20030808
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1688548		A	20051026	CN 2003-823831		20030808
JP 2005535702		T	20051124	JP 2004-527373		20030808
US 2005288337		A1	20051229	US 2005-524028		20050209
PRIORITY APPLN. INFO.:				GB 2002-18630		A 20020810
				WO 2003-JP10119		W 20030808

OTHER SOURCE(S): MARPAT 140:199207  
GI



AB Title compds. [1; A, B = aryl, heteroaryl; Q = C, CH; QV, QD = 5-7 membered heterocyclyl; D = H, alkyl; R1-R3 = alkyl, halo, alkoxy, OH, cyano, CF3, NO2, alkylthio, amino, CO2H, alkanoyl, amido, NHCOR9, NHSO2R9; R9 = alkyl, cycloalkyl, (substituted) Ph etc.; R4 = H, alkyl, halo, alkoxy; V = O, S, amino, NNO2, NCN; W, X, Y, Z = C, CH, CH2; dotted line = single or double

bond; L = (CH<sub>2</sub>)<sub>r</sub>, (CH<sub>2</sub>)<sub>rr</sub>O; r = 0-3; rr = 2, 3; J = CR<sub>5</sub>:CR<sub>6</sub>, CHR<sub>7</sub>CHR<sub>8</sub>, single bond, CHR<sub>6</sub>; OCHR<sub>10</sub>, etc.; R<sub>5</sub>, R<sub>6</sub>, R<sub>10</sub> = H, alkyl; R<sub>7</sub>, R<sub>8</sub> = H, alkyl, cycloalkyl, aryl, heteroaryl, etc.; m, n, p = 0-3; q = 0-2], were prepared as inhibitors of  $\alpha$ 4 integrin-mediated cell adhesion (no data). Thus, Et 3-[4-[2-oxo-3-[4-(3-o-tolylureido)phenyl]-2H-pyridin-1-ylmethyl]phenyl]propionate and LiOH were stirred at 60° for 30 min in THF/H<sub>2</sub>O to give after acidification with HCl 3-[3-[2-oxo-3-[4-(3-o-tolylureido)phenyl]-2H-pyridin-1-ylmethyl]phenyl]propionic acid.

IT 660439-93-0P 660439-94-1P 660439-95-2P  
 660439-96-3P 660439-97-4P 660439-98-5P  
 660439-99-6P 660440-00-6P 660440-01-7P  
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 660440-38-0P 660440-39-1P 660440-40-4P  
 660440-41-5P 660440-62-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridones as inhibitors of  $\alpha$ 4 integrin-mediated cell adhesion)

FILE 'REGISTRY' ENTERED AT 12:09:19 ON 24 JUL 2007

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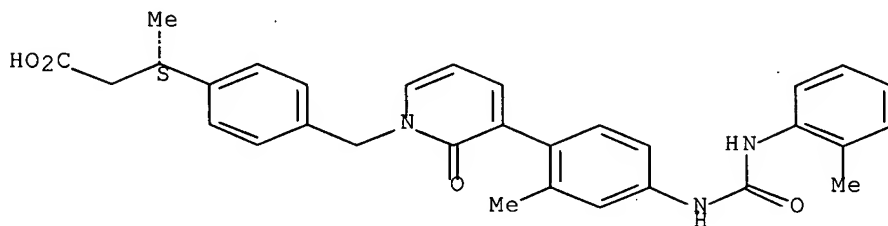
L8 ANSWER 1 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 915157-93-6 REGISTRY



10/524028

ED Entered STN: 11 Dec 2006  
CN Benzenepropanoic acid,  $\beta$ -methyl-4-[[3-[2-methyl-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-2-oxo-1(2H)-pyridinyl]methyl]-, ( $\beta$ S)- (CA INDEX NAME)  
FS STEREOSEARCH  
MF C31 H31 N3 O4  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



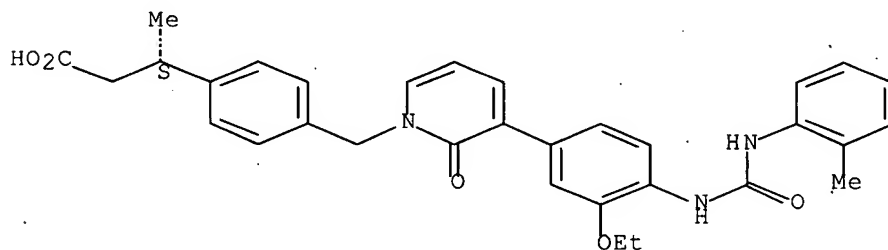
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 146:213

L8 ANSWER 5 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 915157-88-9 REGISTRY  
ED Entered STN: 11 Dec 2006  
CN Benzenepropanoic acid, 4-[[3-[3-ethoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-2-oxo-1(2H)-pyridinyl]methyl]- $\beta$ -methyl-, ( $\beta$ S)- (CA INDEX NAME)  
FS STEREOSEARCH  
MF C32 H33 N3 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



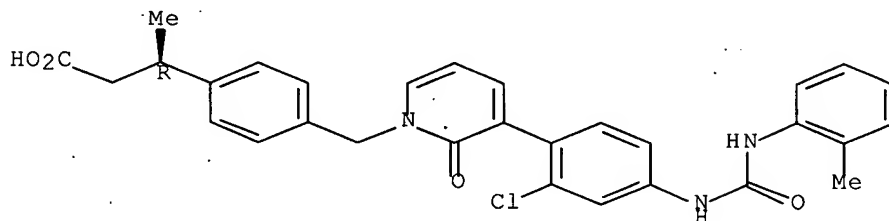
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 146:213

L8 ANSWER 9 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 915157-84-5 REGISTRY  
 ED Entered STN: 11 Dec 2006  
 CN Benzenepropanoic acid, 4-[[3-[2-chloro-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-2-oxo-1(2H)-pyridinyl]methyl]- $\beta$ -methyl-, ( $\beta$ R)- (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C30 H28 Cl N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

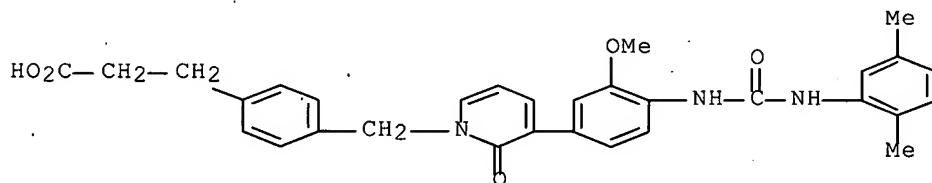


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 146:213

L8 ANSWER 18 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 915157-75-4 REGISTRY  
 ED Entered STN: 11 Dec 2006  
 CN Benzenepropanoic acid, 4-[[3-[4-[[[(2,5-dimethylphenyl)amino]carbonyl]amino]-3-methoxyphenyl]-2-oxo-1(2H)-pyridinyl]methyl]- (CA INDEX NAME)  
 MF C31 H31 N3 O5  
 SR CA  
 LC STN Files: CA, CAPLUS

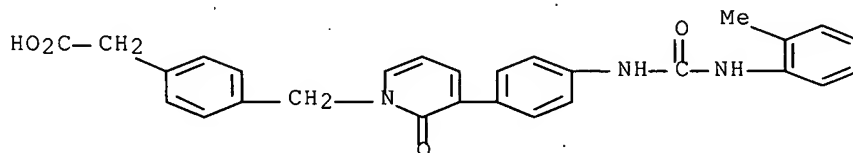


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 146:213

L8 ANSWER 26 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 884348-00-9 REGISTRY  
 ED Entered STN: 15 May 2006  
 CN Benzeneacetic acid, 4-[[3-[4-[[[(2-methylphenyl)amino]carbonyl]amino]p  
 henyl]-2-oxo-1(2H)-pyridinyl]methyl]- (9CI) (CA INDEX NAME)  
 MF C28 H25 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS



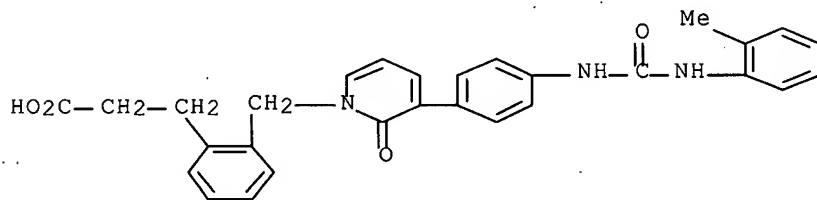
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:480470

REFERENCE 2: 144:403837

L8 ANSWER 27 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 884347-99-3 REGISTRY  
 ED Entered STN: 15 May 2006  
 CN Benzenepropanoic acid, 2-[[3-[4-[[[(2-methylphenyl)amino]carbonyl]amin  
 o]phenyl]-2-oxo-1(2H)-pyridinyl]methyl]- (9CI) (CA INDEX NAME)  
 MF C29 H27 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS



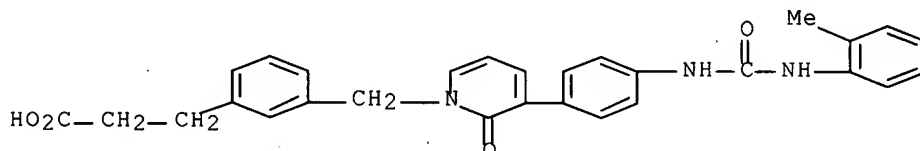
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:480470

REFERENCE 2: 144:403837

L8 ANSWER 29 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 660440-62-0 REGISTRY  
 ED Entered STN: 09 Mar 2004  
 CN Benzenepropanoic acid, 3-[[[3-[4-[[[(2-methylphenyl)amino]carbonyl]amin  
 o]phenyl]-2-oxo-1(2H)-pyridinyl]methyl]- (9CI) (CA INDEX NAME)  
 MF C29 H27 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

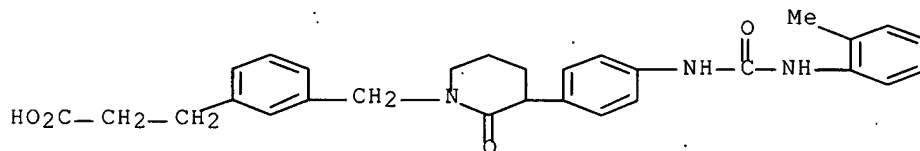
3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:480470

REFERENCE 2: 144:403837

REFERENCE 3: 140:199207

L8 ANSWER 35 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 660440-36-8 REGISTRY  
 ED Entered STN: 09 Mar 2004  
 CN Benzenepropanoic acid, 3-[[[3-[4-[[[(2-methylphenyl)amino]carbonyl]amin  
 o]phenyl]-2-oxo-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)  
 MF C29 H31 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



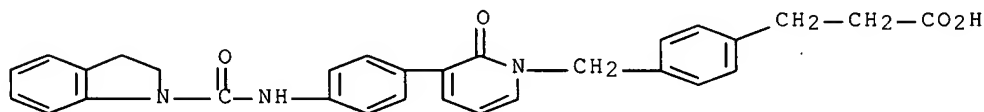
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 143:299147

REFERENCE 2: 140:199207

L8 ANSWER 42 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 660440-29-9 REGISTRY  
 ED Entered STN: 09 Mar 2004  
 CN Benzenepropanoic acid, 4-[[[3-[4-[[[(2,3-dihydro-1H-indol-1-yl)carbonyl]amino]phenyl]-2-oxo-1(2H)-pyridinyl]methyl]- (9CI) (CA INDEX NAME)  
 MF C30 H27 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



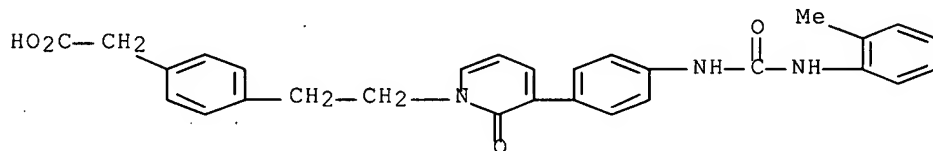
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 143:299147

REFERENCE 2: 140:199207

L8 ANSWER 58 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 660440-13-1 REGISTRY  
 ED Entered STN: 09 Mar 2004  
 CN Benzeneacetic acid, 4-[2-[3-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-2-oxo-1(2H)-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)  
 MF C29 H27 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

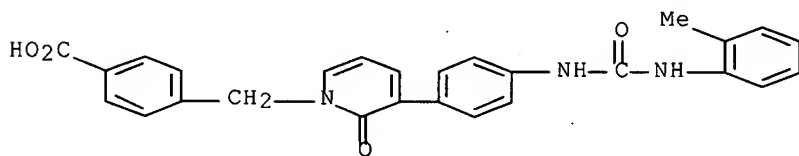
REFERENCE 1: 143:299147

REFERENCE 2: 140:199207

L8 ANSWER 66 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 660439-99-6 REGISTRY

10/524028

ED Entered STN: 09 Mar 2004  
CN Benzoic acid, 4-[[3-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-  
2-oxo-1(2H)-pyridinyl]methyl]- (9CI) . (CA INDEX NAME)  
MF C27 H23 N3 O4  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

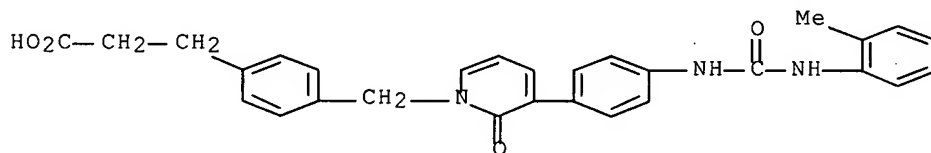


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:480470  
REFERENCE 2: 144:403837  
REFERENCE 3: 143:299147  
REFERENCE 4: 140:199207

L8 ANSWER 72 OF 72 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 660439-93-0 REGISTRY  
ED Entered STN: 09 Mar 2004  
CN Benzenepropanoic acid, 4-[[3-[4-[[[(2-methylphenyl)amino]carbonyl]amin  
o]phenyl]-2-oxo-1(2H)-pyridinyl]methyl]- (CA INDEX NAME)  
MF C29 H27 N3 O4  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL .



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 146:213  
REFERENCE 2: 144:480470  
REFERENCE 3: 144:403837

REFERENCE 4: 143:299147

REFERENCE 5: 140:199207

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FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L9 0 L6

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L10 0 L6

=> fil hom  
FILE 'HOME' ENTERED AT 12:11:39 ON 24 JUL 2007

10/524028

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L15 205 SEA ABB=ON PLU=ON "WITHERINGTON J"?/AU  
L16 6337 SEA ABB=ON PLU=ON "ELLIOTT R"?/AU  
L17 12 SEA ABB=ON PLU=ON L15 AND L16  
L18 24 SEA ABB=ON PLU=ON (L15 OR L16) AND ((ALPHA4 OR A4 OR (A  
OR ALPHA) (W) 4) (3A) INTEGRIN OR (CD49? OR CD 49) (5A)  
ANTIGEN OR (CELL OR CELLULAR) (3A) ADHESION)  
L19 30 SEA ABB=ON PLU=ON L17 OR L18  
L20 16 DUP REM L19 (14 DUPLICATES REMOVED)

L20 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2007:33257 CAPLUS Full-text

DOCUMENT NUMBER: 146:142654

TITLE: Preparation of imidazopyridines as acid pump  
antagonists.

INVENTOR(S): Bamford, Mark James; Elliott, Richard  
Leonard; Giblin, Gerard Martin Paul; Naylor,  
Antoinette; Panchal, Terence Aaron; Takle, Andrew  
Kenneth; Witherington, Jason

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007003386	A1	20070111	WO 2006-EP6410	20060628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,				



10/524028

GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,  
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA,  
MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,  
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

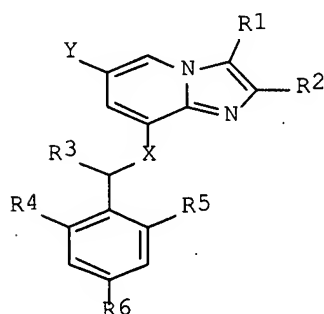
GB 2005-13423

A 20050630

OTHER SOURCE(S):

MARPAT 146:142654

GI



I

AB Title compds. [I; X = NH, NR7, O; R1 = H, alkyl, CH<sub>2</sub>CN, CH<sub>2</sub>NH<sub>2</sub>, cycloalkyl, alkenyl, alkynyl, fluoroalkyl, alkylsulfonylalkyl, amino, etc.; R2 = alkyl, amino, cycloalkyl, cycloalkylalkyl, alkoxy, alkenyl, hydroxyalkyl, cyanoalkyl, haloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl, OH, halo, amino, alkoxy; R3R4 = atoms to form (substituted) 5-6 membered heterocyclic ring; R6 = H, alkyl, halo, OH, amino, alkoxycarbonylamino; R7 = alkyl; R4R7 = atoms to form (substituted) 5-7 membered heterocyclic ring; Y = (substituted) 4-7 membered nonarom. heterocyclic], were prepared Thus, 6-bromo-N-[(2,6-dimethylphenyl)methyl]-2,3-dimethylimidazo[1,2-a]pyridin-8-amine, 2-pyrrolidinone, tris(dibenzylideneacetone)dipalladium, 4,5-bis(diphenylphosphino)-9,9-dimethylxanthine, and Cs<sub>2</sub>CO<sub>3</sub> were refluxed together in dioxane to give 1-[8-[[[(2,6-dimethylphenyl)methyl]amino]-2,3-dimethylimidazo[1,2-a]pyridin-6-yl]-2-pyrrolidinone hydrochloride. I inhibited H<sup>+</sup>/K<sup>+</sup> ATPase at <5 μM.

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L20 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2007:281174 CAPLUS Full-text

DOCUMENT NUMBER: 146:330828

TITLE: Pharmaceutical compositions containing .  
**alpha.-4 integrin**  
**mediated cell adhesion**  
**inhibitors**

INVENTOR(S): Ward, Robert William; Witherington, Jason

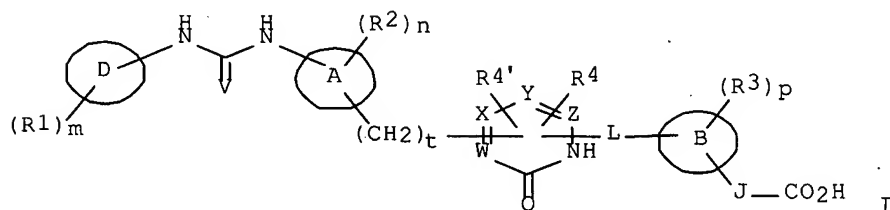
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

10/524028

SOURCE: Jpn. Kokai Tokkyo Koho, 38pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007063268	A	20070315	JP 2006-212923	20060804
PRIORITY APPLN. INFO.:			JP 2005-227980	A 20050805

OTHER SOURCE(S): MARPAT 146:330828  
 GI



AB The invention relates to a pharmaceutical composition characterized by containing a compound I [A, B, D = aryl, heteroaryl; R1, R2, R3 = C1-6 alkyl, halogen, C1-6 alkoxy, hydroxy, cyano, CF3, OCF3, nitro, C1-6 alkylthio, amino, mono-(di-)-C1-6 alkylamino, carboxy, C1-6 alkanoyl, amido, mono-(di-)-C1-6 alkylamido, etc; R4, R4' = H, C1-6 alkyl, halogen, C1-6 alkoxy; V = O, S, NH, N-C1-6 alkyl, NNO2, NCN; W, X, Y, Z = C, CH, N, wherein at least one of X, Y, and Z is N; L = -(CH2)q-, -(CH2)q'O-, wherein q = 0-3, q' = 2, 3; J = -CR5:CR6-, wherein R5, R6 = H, C1-6 alkyl, single bond, etc.; m, n, p = 0-3; t = 0-2], or its pharmaceutically acceptable derivative as an active component. The compound has an inhibitory effect against  $\alpha$ -4 integrin mediated cell adhesion, and is suitable for use for treatment of  $\alpha$ -4 integrin mediated cell adhesion-related disease, e.g. asthma, enteritis, rheumatic arthritis, and multiple sclerosis, etc. For example, a compound (R,S)-3-[4-[5-[3-ethoxy-4-(3-o-tolylureido)phenyl]-6-oxo-6H-pyrimidin-1-ylmethyl]phenyl]butyric acid was prepared, and examined for its interaction with integrin VLA-4 in vitro.

L20 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2007:613414 CAPLUS Full-text  
 TITLE: Therapeutic approaches towards the treatment of gastrointestinal disorders  
 AUTHOR(S): Collingwood, Steve; Witherington, Jason  
 CORPORATE SOURCE: UK  
 SOURCE: Drug News & Perspectives (2007), 20(2), 139-144  
 CODEN: DNPEED; ISSN: 0214-0934  
 PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English

AB A review. The Society for Medicines Research gathered an international panel of speakers and about 60 delegates for their symposium Sept. 21, 2006, on

Therapeutic Approaches Towards the Treatment of Gastrointestinal Disorders, at the National Heart and Lung Institute, in London, U.K. The focus of the conference was to discuss therapeutic strategies taken towards the treatment of inflammatory bowel disease, acid-related disorders and irritable bowel syndrome. Key note lectures addressed the development of tegaserod, a 5-HT<sub>4</sub> receptor agonist, for the treatment of constipation dominant irritable bowel syndrome (cIBS), the use of tumor necrosis factor  $\alpha$  (TNF $\alpha$ ) inhibitors in the treatment of chronic inflammatory diseases, including Crohn's disease, the development of effective inhibitors of gastric acid secretion, the role of **alpha.4.beta.7 integrin** in the development of Crohn's disease and ulcerative colitis, the parts played by the neuropeptides ghrelin and motilin in the control of gastrointestinal motility, and the role of bacteria in functional gastrointestinal disease.

L20 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:1006666 CAPLUS Full-text  
 DOCUMENT NUMBER: 145:377339  
 TITLE: Preparation of imidazo[1,2-a]pyridine derivatives  
 useful as medicaments for treating  
 gastrointestinal diseases  
 INVENTOR(S): Bamford, Mark James; Elliott, Richard  
 Leonard; Giblin, Gerard Martin Paul; Naylor,  
 Antoinette; Witherington, Jason;  
 Panchal, Terence Aaron; Demont, Emmanuel Hubert  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 128pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006100119	A1	20060928	WO 2006-EP2952	20060322
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: GB 2005-6137 A 20050324  
 GB 2005-7101 A 20050407  
 GB 2005-12923 A 20050624  
 GB 2005-21274 A 20051019

OTHER SOURCE(S): MARPAT 145:377339  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [X = NH, N-alkyl, O; R1 = H, cyclo/alkyl, alkoxy, NH2 and derivs., etc.; R2 = cyclo/halo/alkyl, NH2, etc.; R3 = H, alkyl; R4, R5 = independently H, alkyl, OH, halo, alkoxy, NH2 and derivs.; or R3CCCR4 = (un)substituted 5- to 6-membered carbocyclyl or heterocyclyl; R6 = H, alkyl, halo, OH, NHCO2-alkyl, NH2 and derivs.; Ar = (un)substituted aryl, 5- to 6-membered monocyclyl or 7- to 12-membered bicyclyl heteroaryl; and their pharmaceutically acceptable salts; with the exception of one specified compound] were prepared for treating diseases or disorders where an acid pump antagonist is required such as gastrointestinal diseases associated with an imbalance in gastric acid (no data). Thus, cyclization of 2-amino-3,5-dibromopyridine with 3-bromo-2-butanone, reaction of the dibromide with (2,6-dimethylphenyl)methanol, and Pd-coupling of the bromide with phenylboronic acid gave imidazopyridine II. Selected I were tested in H<sup>+</sup>/K<sup>+</sup>-ATPase activity assays.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2006:1001119 CAPLUS Full-text

DOCUMENT NUMBER: 146:213

TITLE: Pyridone derivatives as potent, orally bioavailable VLA-4 integrin antagonists

AUTHOR(S): Witherington, Jason; Blaney, Emma L.; Bordas, Vincent; Elliott, Richard L.; Gaiba, Alessandra; Garton, Neil; Green, Philip M.; Naylor, Antoinette; Smith, David G.; Spalding, David J.; Takle, Andrew K.; Ward, Robert W.

CORPORATE SOURCE: Department of DMPK and Medicinal Chemistry, Neurology and GI Centre of Excellence for Drug Discovery, GlaxoSmithKline Research Limited, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(21), 5538-5541

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:213

AB A series of pyridone-N-benzylpropanoic acids have been optimized to afford potent orally bioavailable VLA-4 antagonists.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:453930 CAPLUS Full-text

DOCUMENT NUMBER: 144:480470

TITLE: Pyridone derivatives as potent and selective VLA-4 integrin antagonists. [Erratum to document cited in CA144:403837]

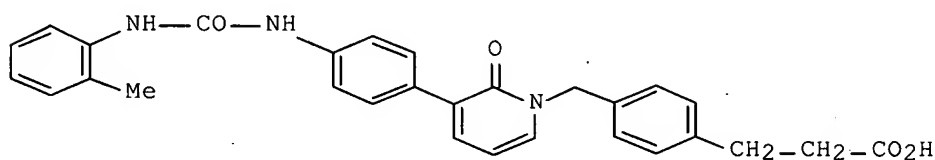
AUTHOR(S): Witherington, Jason; Bordas, Vincent; Gaiba, Alessandra; Green, Phil M.; Naylor, Antoinette; Parr, Nigel; Smith, David G.; Takle, Andrew K.; Ward, Robert W.

CORPORATE SOURCE: Department of Medicinal Chemistry, Neurology & GI

10/524028

SOURCE: Centre of Excellence for Drug Discovery,  
GlaxoSmithKline Research Limited Harlow, Essex,  
CM19 5AW, UK  
Bioorganic & Medicinal Chemistry Letters (2006),  
16(12), 3341  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The legends to Figures 1b and 3b are incorrect. In Figure 1b, the legend should read: "GASP molecular overlay of 8 (green) and 5 (yellow)". In Figure 3b, the legend should read: "Molecular overlay of 8 (green) and 10 (yellow)".

L20 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 5  
ACCESSION NUMBER: 2006:232883 CAPLUS Full-text  
DOCUMENT NUMBER: 144:403837  
TITLE: Pyridone derivatives as potent and selective VLA-4  
integrin antagonists  
AUTHOR(S): Witherington, Jason; Bordas, Vincent;  
Gaiba, Alessandra; Green, Phil M.; Naylor,  
Antoinette; Parr, Nigel; Smith, David G.; Takle,  
Andrew K.; Ward, Robert W.  
CORPORATE SOURCE: Department of Medicinal Chemistry, Neurology & GI  
Centre of Excellence for Drug Discovery,  
GlaxoSmithKline Research Limited, Essex, CM19 5AW,  
UK  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),  
16(8), 2256-2259  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 144:403837  
GI



I

AB A novel series of pyridone inhibitors has been identified through pharmacophore anal., as potent antagonists of VLA-4. Analog I exhibited excellent inhibitory potency.  
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 16 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

10/524028

ACCESSION NUMBER: 2007:187178 BIOSIS Full-text  
DOCUMENT NUMBER: PREV200700193313  
TITLE: Copper homeostasis: use of in vitro cell systems and transcriptional analysis to detect markers of copper regulation in human subjects.  
AUTHOR(S): Wortley, G. M. [Reprint Author]; Elliott, R. M.; Harvey, L. J.; Fairweath-Tait, S. J.  
CORPORATE SOURCE: Hong Kong Polytech Univ, Fac Hlth and Social Sci, Kowloon, Hong Kong, Peoples R China  
SOURCE: Proceedings of the Nutrition Society, (2006) Vol. 65, No. Suppl. S, pp. 103A.  
Meeting Info.: Meeting on Interactions Between Genetics, Diet, Health and Disease. Aberdeen, UK. July 03 -06, 2006.  
CODEN: PNUSA4. ISSN: 0029-6651.  
DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 14 Mar 2007  
Last Updated on STN: 14 Mar 2007

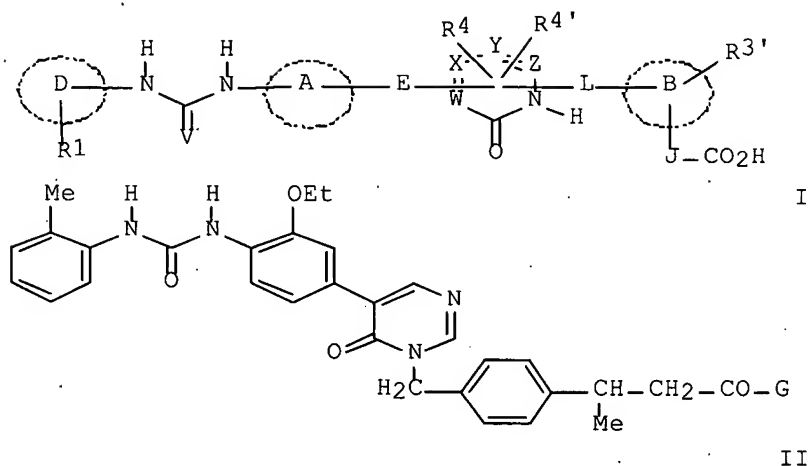
L20 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2005:823674 CAPLUS Full-text  
DOCUMENT NUMBER: 143:229873  
TITLE: Preparation of 2-(phenylmethyl)pyrimidinones and related compounds as **alpha-4 integrin mediated cell adhesion** inhibitors for the treatment of inflammatory diseases  
INVENTOR(S): Ward, Robert William; Witherington, Jason  
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 58 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075438	A1	20050818	WO 2005-JP2194	20050208
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2554705	A1	20050818	CA 2005-2554705	20050208
EP 1737826	A1	20070103	EP 2005-710195	20050208
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 1918133	A	20070221	CN 2005-80004473	20050208
PRIORITY APPLN. INFO.:			GB 2004-2812	A 20040209

OTHER SOURCE(S):  
GI

MARPAT 143:229873



AB Title compds. I [R1' = (R1)m; R2' = (R2)n; D = (CH2)t; R3' = (R3)p; R1, R2, R3 = alkyl, halo, alkoxy, etc.; R4, R4' = H, alkyl, halo, etc.; V = O, S, NH, etc.; W, X, Y, Z = C, CH, N, subject to the proviso that at least one X Y and Z is N; L = (CH2)q, (CH2)q'O; J = bond, CR5=CR6, CHR7CHR8, etc.; R5, R6 = H, alkyl; R7, R8 = H, alkyl, cycloalkyl, etc.; q = 0-3; q' = 2,3; A, B, D = aryl, heteroaryl; m, n, p = 0-3; t = 0-2] and their pharmaceutically acceptable salts were prepared. For example, saponification of Et ester II (G = OEt) afforded carboxylic acid II (G = OH). Compounds I are claimed to be useful as **alpha-4 integrin mediated cell adhesion** inhibitors (no data provided).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2005:1025806 CAPLUS Full-text

DOCUMENT NUMBER: 143:299147

TITLE: Medicine compositions containing pyridone analogs for inhibiting **alpha.4-integrin-mediated cell adhesion**

INVENTOR(S): Witherington, Jason; Elliott, Richard Leonard

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005255675	A	20050922	JP 2005-33237	20050209

PRIORITY APPLN. INFO.:

JP 2004-31901

A 20040209

OTHER SOURCE(S): MARPAT 143:299147

AB Medicine compns. containing pyridone analogs and their pharmacol. acceptable salts are claimed for inhibiting  $\alpha_4$ -integrin-mediated cell adhesion for treatment of related diseases, including chronic inflammatory diseases, asthma, allergy, inflammatory bowel disease, rheumatoid arthritis, atopic dermatitis, multiple sclerosis, organ transplant rejection, cardiovascular disease, diabetes, tumor, central nervous system diseases, etc.

L20 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1341075 CAPLUS Full-text

DOCUMENT NUMBER: 144:271286

TITLE: Expression and functional analysis of genes deregulated in mouse placental overgrowth models: Car2 and Ncam1

AUTHOR(S): Singh, Umashankar; Sun, Tong; Shi, Wei; Schulz, Ralph; Nuber, Ulrike A.; Varanou, Aikaterini; Hemberger, Myriam C.; Elliott, Rosemary W.; Ohta, Hiroshi; Wakayama, Teruhiko; Fundele, Reinald

CORPORATE SOURCE: Department of Development and Genetics, Evolutionary Biology Center, Uppsala University, Uppsala, Swed.

SOURCE: Developmental Dynamics (2005), 234(4), 1034-1045  
CODEN: DEDYEI; ISSN: 1058-8388

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Different causes, such as maternal diabetes, cloning by nuclear transfer, interspecific hybridization, and deletion of some genes such as Esx1, Ipl, or Cdkn1c, may underlie placental overgrowth. In a previous study, we carried out comparative gene expression anal. in three models of placental hyperplasias, cloning, interspecies hybridization (IHPD), and Esx1 deletion. This study identified a large number of genes that exhibited differential expression between normal and enlarged placentas; however, it remained unclear how altered expression of any specific gene was related to any specific placental phenotype. In the present study, we focused on two genes, Car2 and Ncam1, which both exhibited increased expression in interspecies and cloned hyperplastic placentas. Apart from a detailed expression anal. of both genes during normal murine placentation, we also assessed morphol. of placentas that were null for Car2 or Ncam1. Finally, we attempted to rescue placental hyperplasia in a congenic model of IHPD by decreasing transcript levels of Car2 or Ncam1. In situ anal. showed that both genes are expressed mainly in the spongiotrophoblast, however, expression patterns exhibited significant variability during development. Contrary to expectations, homozygous deletion of either Car2 or Ncam1 did not result in placental phenotypes. However, expression anal. of Car3 and Ncam2, which can take over the function of Car2 and Ncam1, resp., indicated a possible rescue mechanism, as Car3 and Ncam2 were expressed in spongiotrophoblast of Car2 and Ncam1 mutant placentas. On the other hand, downregulation of either Car2 or Ncam1 did not rescue any of the placental phenotypes of AT24 placentas, a congenic model for interspecies hybrid placentas. This strongly suggested that altered expression of Car2 and Ncam1 is a downstream event in placental hyperplasia.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 8

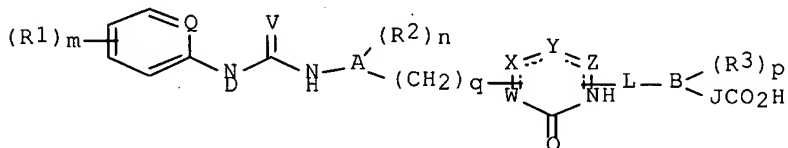


10/524028

ACCESSION NUMBER: 2004:143107 CAPLUS Full-text  
 DOCUMENT NUMBER: 140:199207  
 TITLE: Preparation of pyridones as inhibitors of  $\alpha$ .4 integrin-mediated cell adhesion.  
 INVENTOR(S): Witherington, Jason; Elliott, Richard Leonard  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014859	A2	20040219	WO 2003-JP10119	20030808
WO 2004014859	A3	20040415		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493660	A1	20040219	CA 2003-2493660	20030808
AU 2003256069	A1	20040225	AU 2003-256069	20030808
EP 1539696	A2	20050615	EP 2003-784602	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1688548	A	20051026	CN 2003-823831	20030808
JP 2005535702	T	20051124	JP 2004-527373	20030808
US 2005288337	A1	20051229	US 2005-524028	20050209
PRIORITY APPLN. INFO.:			GB 2002-18630	A 20020810
			WO 2003-JP10119	W 20030808

OTHER SOURCE(S): MARPAT 140:199207  
 GI



AB Title compds. [I; A, B = aryl, heteroaryl; Q = C, CH; QV, QD = 5-7 membered heterocyclyl; D = H, alkyl; R1-R3 = alkyl, halo, alkoxy, OH, cyano, CF3, NO2, alkylthio, amino, CO2H, alkanoyl, amido, NHCOR9, NHSO2R9; R9 = alkyl, cycloalkyl, (substituted) Ph etc.; R4 = H, alkyl, halo, alkoxy; V = O, S,

amino, NNO<sub>2</sub>, NCN; W, X, Y, Z = C, CH, CH<sub>2</sub>; dotted line = single or double bond; L = (CH<sub>2</sub>)<sub>r</sub>, (CH<sub>2</sub>)<sub>rr</sub>O; r = 0-3; rr = 2, 3; J = CR<sub>5</sub>:CR<sub>6</sub>, CHR<sub>7</sub>CHR<sub>8</sub>, single bond, CHR<sub>6</sub>; OCHR<sub>10</sub>, etc.; R<sub>5</sub>, R<sub>6</sub>, R<sub>10</sub> = H, alkyl; R<sub>7</sub>, R<sub>8</sub> = H, alkyl, cycloalkyl, aryl, heteroaryl, etc.; m, n, p = 0-3; q = 0-2], were prepared as inhibitors of **. alpha.4 integrin-mediated cell adhesion** (no data). Thus, Et 3-[4-[2-oxo-3-[4-(3-o- tolylureido)phenyl]-2H-pyridin-1-ylmethyl]phenyl]propionate and LiOH were stirred at 60° for 30 min in THF/H<sub>2</sub>O to give after acidification with HCl 3-[3-[2-oxo-3-[4-(3-o- tolylureido)phenyl]-2H- pyridin-1-ylmethyl]phenyl]propionic acid.

L20 ANSWER 13 OF 16 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation  
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ACCESSION NUMBER: 2004:198917 BIOSIS Full-text

DOCUMENT NUMBER: PREV200400199476

TITLE: Microarray analysis reveals functional clustering of gene expression in dentate granule cells over extended timecourses of development and epileptogenesis.

AUTHOR(S): Elliott, R. C. [Reprint Author]; Otu, H.; Kruegel, B. R. [Reprint Author]; Usta, F.; Libermann, T.; Lowenstein, D. H.

CORPORATE SOURCE: Neurol., Beth Israel Deaconess Med. Ctr., Boston, MA, USA

SOURCE: Society for Neuroscience Abstract Viewer and Itinerary Planner, (2003) Vol. 2003, pp. Abstract No. 411.1.  
<http://sfn.scholarone.com>. e-file.

Meeting Info.: 33rd Annual Meeting of the Society of Neuroscience. New Orleans, LA, USA. November 08-12, 2003. Society of Neuroscience.

DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 14 Apr 2004

Last Updated on STN: 14 Apr 2004

AB Prior findings in our laboratory support the concept that molecular mechanisms underlying aspects of dentate granule cell (DGC) development may play important roles in epilepsy-associated DGC plasticity. To define and compare patterns of DGC gene expression during development and epileptogenesis further, we have performed microarray analyses over the first 28 postnatal days of rat DGC development and the first 60 days following pilocarpine-induced status epilepticus (SE) in a rodent model of human temporal lobe epilepsy. Of the 8800 genes on the Affymetrix microarrays used, approximately 700 genes were found to be regulated at one or more of 5 developmental timepoints analyzed. Half this number, or roughly 350 genes, were found to be regulated during one or more of 5 timepoints following SE. Self-organizing map (SOM) analysis of these regulated genes indicates that those with similar gene product function often share similar temporal profiles of expression. For instance, six different genes involved in calcium homeostasis/signaling that were down-regulated during epileptogenesis were grouped in two similarly-shaped clusters, and nine different sequences representing Major Histocompatibility Complex (MHC) family members were up-regulated with one of two distinct patterns following SE. In addition, nearly 100 genes were regulated during both development and epileptogenesis. Two genes, coding for the **cell adhesion** molecules neurotrimin and LAMP, co-clustered in developmental and epileptogenesis profiles that were very similar to each other. These results provide additional evidence of a reiteration of developmental mechanisms during epileptogenesis.

10/524028

ACCESSION NUMBER: 85225713 MEDLINE Full-text  
DOCUMENT NUMBER: PubMed ID: 4004930  
TITLE: Relationship of biochemical drug effects to their  
antitumor activity--II. Diacridines and  
membrane-related reactions.  
AUTHOR: Elliott R E; Karadsheh N S; Kole J;  
Canellakis E S  
CONTRACT NUMBER: CA 28852 (NCI)  
GM 03070 (NIGMS)  
SOURCE: Biochemical pharmacology, (1985 Jun 15) Vol. 34, No.  
12, pp. 2123-8.  
Journal code: 0101032. ISSN: 0006-2952.  
PUB. COUNTRY: ENGLAND: United Kingdom  
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)  
LANGUAGE: English  
FILE SEGMENT: Priority Journals  
ENTRY MONTH: 198507  
ENTRY DATE: Entered STN: 20 Mar 1990  
Last Updated on STN: 3 Feb 1997  
Entered Medline: 10 Jul 1985

AB A method is presented that determines the degree of attachment of cancer cells to normal cells. This method may be useful in determining the extent to which treatment of normal cells (or of a tumor-bearing host) with a particular chemotherapeutic agent may affect the degree of attachment of cancer cells to the normal cells. The effects of several diacridines upon this process are described. In addition, we have determined the ability of individual diacridines to alter the permeability of P-388 cells; this effect has been related to their antitumor properties. In general, the most effective antitumor diacridines are those that cause minimal disruption of cell permeability. Conversely, diacridines that disrupt cell permeability tend to have poor antitumor properties. It is considered that the toxicity of these compounds may be a necessary consequence of the assays used for testing anticancer agents, and may not necessarily be related to their antitumor activity.

L20 ANSWER 15 OF 16 JAPIO (C) 2007 JPO on STN

ACCESSION NUMBER: 2007-063268 JAPIO Full-text  
PATENT INFORMATION:

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 2007063268	A	20070315	Heisei	

APPLICATION INFORMATION

STN FORMAT: JP 2006-212923 20060804  
ORIGINAL: JP2006212923 Heisei  
PRIORITY APPLN. INFO.: JP 2005-227980 20050805  
SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined  
Applications, Vol. 2007  
AN 2007-063268 JAPIO Full-text

L20 ANSWER 16 OF 16 JAPIO (C) 2007 JPO on STN

ACCESSION NUMBER: 2005-255675 JAPIO Full-text  
TITLE: PHARMACEUTICAL COMPOSITION  
INVENTOR: WITHERINGTON JASON; ELLIOT RICHARD  
LEONARD  
PATENT ASSIGNEE(S): TANABE SEIYAKU CO. LTD  
PATENT INFORMATION:

10/524028

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 2005255675	A	20050922	Heisei	A61K031-4418

APPLICATION INFORMATION

STN FORMAT: JP 2005-33237 20050209  
ORIGINAL: JP2005033237 Heisei  
PRIORITY APPLN. INFO.: JP 2004-31901 20040209  
SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined  
Applications, Vol. 2005

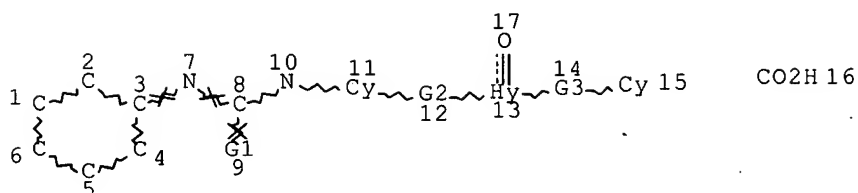
AN 2005-255675 JAPIO Full-text

AB PROBLEM TO BE SOLVED: To obtain a pharmaceutical composition effectively suppressing or inhibiting  $\alpha$ -integrin- interposed **cell adhesion** and effective for preventing or treating chronic inflammatory diseases. SOLUTION: This pharmaceutical composition contains as active ingredient a compound of formula(I) having  $\alpha$ -integrin- interposed **cell adhesion** inhibitory activity or a pharmaceutically acceptable derivative thereof. COPYRIGHT: (C)2005,JPO&NCIPI

FILE 'HOME' ENTERED AT 15:19:56 ON 20 JUL 2007

L1

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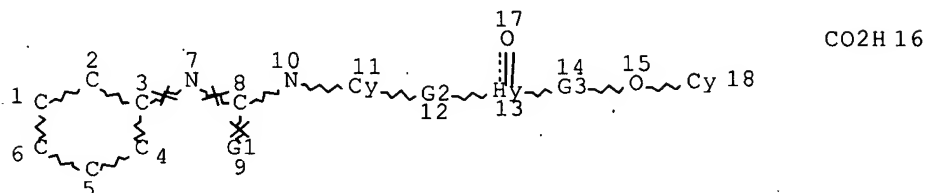
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DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E5 C E1 N AT 13

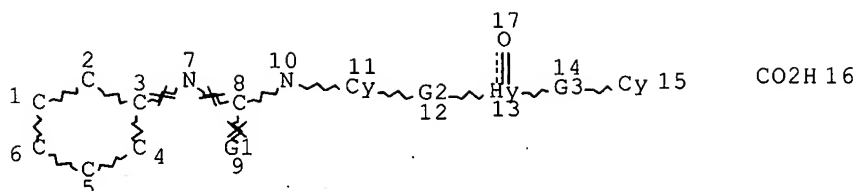
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NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

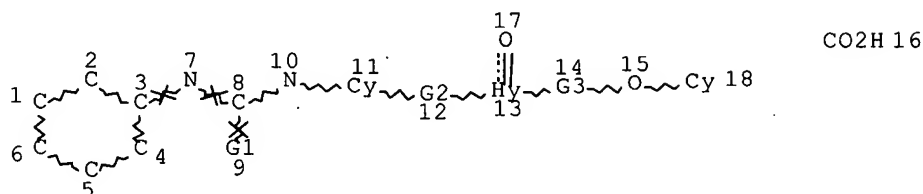
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 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS M1 N AT 13

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
 L4 STR



VAR G1=O/S/N  
 REP G2=(0-3) CH2  
 REP G3=(2-3) CH2  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS M1 N AT 13

GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

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 L6 77 SEA FILE=REGISTRY SUB=L5 SSS FUL (L1 OR L2)

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10/524028

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#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 19 JUL 2007 HIGHEST RN 942942-65-6  
DICTIONARY FILE UPDATES: 19 JUL 2007 HIGHEST RN 942942-65-6

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FILE COVERS 1907 - 20 Jul 2007 VOL 147 ISS 5  
FILE LAST UPDATED: 19 Jul 2007 (20070719/ED)

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#### FILE CAOLD

FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate  
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assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

#### FILE MEDLINE

FILE LAST UPDATED: 19 Jul 2007 (20070719/UP). FILE COVERS 1950 TO DA

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#### FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 18 July 2007 (20070718/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

#### FILE EMBASE

FILE COVERS 1974 TO 20 Jul 2007 (20070720/ED)

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

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#### FILE WPIX

FILE LAST UPDATED: 16 JUL 2007 <20070716/UP>  
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200745 <200745/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> IPC Reform backfile reclassification has been loaded to 31 May 2007. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC and 20060601/UPIC. <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

[http://www.stn-international.de/training\\_center/patents/stn\\_guide.pdf](http://www.stn-international.de/training_center/patents/stn_guide.pdf)

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<http://scientific.thomson.com/support/patents/coverage/latestupdates/>

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#### FILE JAPIO

FILE LAST UPDATED: 4 JUL 2007 <20070704/UP>

10/524028

FILE COVERS APRIL 1973 TO MARCH 29, 2007

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FILE PASCAL

FILE LAST UPDATED: 18 JUL 2007 <20070718/UP>

FILE COVERS 1977 TO DATE.

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